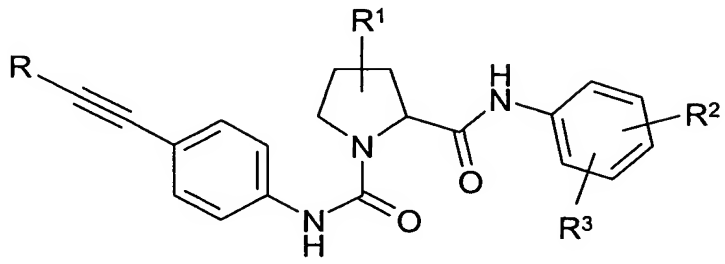
Patent Claims

1. Compounds of the formula I



I

in which

R is H, X, A, X-CO- or A-CO-,

R^1 is H, =O, Hal, X, A, OH, OA, A-COO-, A-CONH-, A-CONA-, N_3, NH_2, NO_2, CN, COOH, COOA, $CONH_2$, $CON(A)_2$, O-allyl, O-propargyl, O-benzyl, =N-OH, =N-OA, $OCH_2CH(OH)CH_2OH$, A-O-CO-$(CH_2)_m$-O-, -$O(CH_2)_m$COOH or -$O(CH_2)_m$OA,

R^2 is H, Hal or A,

R^3 is a monocyclic saturated, unsaturated or aromatic heterocyclic radical having from 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, CN, $(CH_2)_nOH$, NR^4R^5, =NH, =N-OH, =N-OA, COOA and/or carbonyl oxygen (=O), or $CONR^4R^5$,

R^2 and R^3 together are alternatively -CH=CH-NH- or $-CH_2-CH_2$-NH, where one H atom may be replaced by A-CO- or A-O-CO-,

R^4 and R^5, independently of one another, are H or A,

R^4 and R^5 together are alternatively an alkylene chain having 3, 4 or 5 carbon atoms, which may also be substituted by A, Hal, OA and/or carbonyl oxygen (=CO),

X is aryl, arylalkyl, Het or Het-alkyl,

aryl is phenyl, naphthyl or biphenyl, each of which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OH, NH_2,

NO_2, CN, COOH, COOA, $CONH_2$, NHCOA, $NHCONH_2$, $NHSO_2A$, CHO, COA, SO_2NH_2, SO_2A, $-CH_2-COOH$ or $-OCH_2-COOH$,

Het is a mono- or bicyclic saturated, unsaturated or aromatic heterocyclic radical having from 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, benzyl, cycloalkyl, OH, NH_2, $NHCONH_2$, NO_2, CN, $-CH_2-COOH$, $-CH_2-CONH_2$, NHCOA, NR^3SO_2A, CHO, SO_2NH_2, SO_2A and/or carbonyl oxygen,

A is unbranched, branched or cyclic alkyl having 1-10 carbon atoms, in which, in addition, 1-7 H atoms may be replaced by F and/or chlorine,

Hal is F, Cl, Br or I,

m is 1, 2, 3, 4, 5 or 6,

n is 0, 1, 2, 3, 4 , 5 or 6,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

2. Compounds according to Claim 1, in which

R is H or A,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

3. Compounds according to Claim 1 or 2,

in which

R^3 is a monocyclic saturated, unsaturated or aromatic heterocyclic radical having from 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, OA, =NH, OH, COOA and/or carbonyl oxygen (=O),

or $CONR^4R^5$,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

4. Compounds according to one or more of Claims 1-3, in which

R^3 is 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo[2.2.2]octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl, 4*H*-1,4-oxazin-4-yl, furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrimidinyl, triazolyl, tetrazolyl, oxadiazolyl, thiadiazolyl, pyridazinyl or pyrazinyl,
optionally mono- or disubstituted by Hal, OA, OH, COOA and/or A,
or
$CONR^4R^5$,

R^4 and R^5, independently of one another, are H or A,

R^4 and R^5 together are alternatively an alkylene chain having 3, 4 or 5 carbon atoms,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

5. Compounds according to one or more of Claims 1-4, in which

R is H, X, A, X-CO- or A-CO-,

R^1 is H, =O, Hal, X, A, OH, OA, A-COO-, A-CONH-, A-CONA-, N_3, NH_2, NO_2, CN, COOH, COOA, $CONH_2$, $CON(A)_2$, O-allyl, O-propargyl, O-benzyl, =N-OH, =N-OA, $OCH_2CH(OH)CH_2OH$, A-O-CO-$(CH_2)_m$-O-, -$O(CH_2)_m$COOH or -$O(CH_2)_m$OA,

R^2 is H, Hal or A,

R^3 is 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo[2.2.2]octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4-oxazin-4-yl,

furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrimidinyl, triazolyl, tetrazolyl, oxadiazolyl, thiadiazolyl, pyridazinyl or pyrazinyl,

optionally mono- or disubstituted by Hal, OA, OH, COOA and/or A,

or

$CONR^4R^5$,

R^4 and R^5, independently of one another, are H or A,

R^4 and R^5 together are alternatively an alkylene chain having 3, 4 or 5 carbon atoms,

X is aryl, arylalkyl, Het or Het-alkyl,

aryl is phenyl, naphthyl or biphenyl, each of which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OH, NH_2, NO_2, CN, COOH, COOA, $CONH_2$, NHCOA,

$NHCONH_2$, $NHSO_2A$, CHO, COA, SO_2NH_2, SO_2A, $-CH_2-COOH$ or $-OCH_2-COOH$,

Het is a mono- or bicyclic saturated, unsaturated or aromatic heterocyclic radical having from 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, benzyl, cycloalkyl, OH, NH_2, $NHCONH_2$, NO_2, CN, $-CH_2-COOH$, $-CH_2-CONH_2$, NHCOA, NR^3SO_2A, CHO, SO_2NH_2, SO_2A and/or carbonyl oxygen,

A is unbranched, branched or cyclic alkyl having 1-10 carbon atoms, in which, in addition, 1-7 H atoms may be replaced by F,

Hal is F, Cl, Br or I,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

6. Compounds according to one or more of Claims 1-5, in which

R is H or A,

R^1 is H, OH, OA, O-allyl, O-propargyl, $OCH_2CH(OH)CH_2OH$, $A-O-CO-(CH_2)_m-O-$, $-O(CH_2)_mCOOH$ or $-O(CH_2)_mOA$,

R^2 is H, Hal or A,

R^3 is 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-oxo-piperazin-1-yl, 3-oxo-2*H*-pyridazin-2-yl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrimidinyl, triazolyl, tetrazolyl, oxadiazolyl, thiadiazolyl, pyridazinyl or pyrazinyl,
optionally mono- or disubstituted by Hal, OA, OH, COOA and/or A,
or $CONR^4R^5$,

R^4 and R^5 together are an alkylene chain having 3, 4 or 5 carbon atoms,

A is unbranched, branched or cyclic alkyl having 1-10 carbon atoms, in which, in addition, 1-7 H atoms may be replaced by F,

Hal is F, Cl, Br or I,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

7. Compounds according to one or more of Claims 1-6, in which

R is H, X, A, X-CO- or A-CO-,

R^1 is H, =O, Hal, X, A, OH, OA, A-COO-, A-CONH-, A-CONA-, N_3, NH_2, NO_2, CN, COOH, COOA, $CONH_2$, $CON(A)_2$, O-allyl, O-propargyl, O-benzyl, =N-OH, =N-OA, $OCH_2CH(OH)CH_2OH$, A-O-CO-$(CH_2)_m$-O-, -$O(CH_2)_m$COOH or -$O(CH_2)_m$OA,

R^2 is H, Hal or A,

R^3 is 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-imino-piperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxo-piperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo[2.2.2]octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4-oxazin-4-yl,

X is aryl, arylalkyl, Het or Het-alkyl,

aryl is phenyl, naphthyl or biphenyl, each of which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OH, NH_2,

NO_2, CN, COOH, COOA, $CONH_2$, NHCOA, $NHCONH_2$, $NHSO_2A$, CHO, COA, SO_2NH_2, SO_2A, $-CH_2-COOH$ or $-OCH_2-COOH$,

Het is a mono- or bicyclic saturated, unsaturated or aromatic heterocyclic radical having from 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted by Hal, A, benzyl, cycloalkyl, OH, NH_2, $NHCONH_2$, NO_2, CN, $-CH_2-COOH$, $-CH_2-CONH_2$, NHCOA, NR^3SO_2A, CHO, SO_2NH_2, SO_2A and/or carbonyl oxygen,

A is unbranched, branched or cyclic alkyl having 1-10 carbon atoms, in which, in addition, 1-7 H atoms may be replaced by F,

Hal is F, Cl, Br or I,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

8. Compounds according to one or more of Claims 1-7, in which

R^3 is 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-oxopiperazin-1-yl or 3-oxo-2*H*-pyridazin-2-yl,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

9. Compounds according to one or more of Claims 1-8, in which

R^1 is H, OH, OA, O-allyl, O-propargyl, $OCH_2CH(OH)CH_2OH$, $A-O-CO-(CH_2)_m-O-$, $-O(CH_2)_mCOOH$ or $-O(CH_2)_mOA$,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

10. Compounds according to one or more of Claims 1-9, in which

A is unbranched or branched alkyl having 1-6 carbon atoms,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

11. Compounds according to one or more of Claims 1-10, in which

R is H or A,

R^1 is H, OH, OA, O-allyl, O-propargyl, $OCH_2CH(OH)CH_2OH$, A-O-CO-$(CH_2)_m$-O-, -O$(CH_2)_m$COOH or -O$(CH_2)_m$OA,

R^2 is H, Hal or A,

R^3 is 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-oxopiperazin-1-yl or 3-oxo-2*H*-pyridazin-2-yl,

optionally monosubstituted by A, OH or COOA,

A is unbranched, branched or cyclic alkyl having 1-10 carbon atoms, in which, in addition, 1-7 H atoms may be replaced by F,

Hal is F, Cl, Br or I,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

12. Compounds according to Claim 1

1-[(4-ethynylphenyl)]-2-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(3-oxomorpholin-4-yl)phenyl]}-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(3-oxomorpholin-4-yl)phenyl]}-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(3-oxomorpholin-4-yl)phenyl]}-(2R)-pyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R)-pyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-(2R)-pyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[2-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[2-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R)-pyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[2-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[2-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(2-oxo-1*H*-pyrazin-1-yl)phenyl]}-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(2-oxopiperidin-1-yl)phenyl]}-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[3-fluor-4-(2-oxo-2*H*-pyridin-1-yl)-phenyl]}-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(3-oxomorpholin-4-yl)phenyl]}-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(3-oxomorpholin-4-yl)phenyl]}-(2S,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(2-oxo-2*H*-pyrazin-1-yl)phenyl]}-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(2-oxo-2*H*-pyrazin-1-yl)phenyl]}-(2S,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(2-oxopiperidin-1-yl)phenyl]}-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(2-oxopyrrolidin-1-yl)phenyl]}-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[3-methyl-4-(2-oxopiperidin-1-yl)-phenyl]}-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[3-methyl-4-(2-oxopyrrolidin-1-yl)-phenyl]}-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[3-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[3-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]}-(2S,4R)-4-ethoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[1-acetyl-2,3-dihydro-1*H*-indol-5-yl]}-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[2-ethoxycarbonyl-1*H*-indol-5-yl]}-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[2-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[3-methoxy-4-(2-oxo-2*H*-pyridin-1-yl)-phenyl]}-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]}-(2R,4R)-4-hydroxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(3-oxomorpholin-4-yl)phenyl]}-(2R,4R)-4-allyloxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[2-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R,4R)-4-allyloxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(3-oxomorpholin-4-yl)phenyl]}-(2R,4R)-4-propargyloxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[2-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R,4R)-4-propargyloxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[2-fluoro-4-(2-oxo-2*H*-pyridin-1-yl)-phenyl]}-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(3-methyl-2-oxo-2*H*-pyridin-1-yl)-phenyl]}-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[2-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R,4S)-4-propargyloxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(3-oxomorpholin-4-yl)phenyl]}-(2R,4R)-4-(2,3-dihydroxypropoxy)pyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(5-methyl-2-oxo-2*H*-pyridin-1-yl)-phenyl]}-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(2-methoxycarbonyl-4-hydroxy-pyrrolidin-1-yl)phenyl]}-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[2-fluoro-4-(3-methyl-2-oxo-2*H*-pyridin-1-yl)phenyl]}-(2S,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[2-fluoro-4-(3-methyl-2-oxo-2*H*-pyridin-1-yl)phenyl]}-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(3-oxomorpholin-4-yl)phenyl]}-(2R,4R)-4-(methoxyethoxy)pyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(3-oxomorpholin-4-yl)phenyl]}-(2R,4R)-4-(methoxycarbonylmethoxy)pyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(3-oxomorpholin-4-yl)phenyl]}-(2R,4R)-4-(carboxymethoxy)pyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[4-(6-methyl-3-oxo-2*H*-pyridazin-2-yl)-phenyl]}-(2R,4R)-4-methoxypyrrolidine-1,2-dicarboxamide,

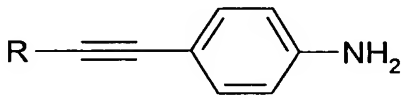
1-[(4-ethynylphenyl)]-2-{[2-methyl-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R,4R)-4-(methoxyethoxy)pyrrolidine-1,2-dicarboxamide,

1-[(4-ethynylphenyl)]-2-{[2-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]}-(2R,4R)-4-(methoxyethoxy)pyrrolidine-1,2-dicarboxamide,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

13. Process for the preparation of compounds of the formula I according to Claims 1-7 and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, characterised in that

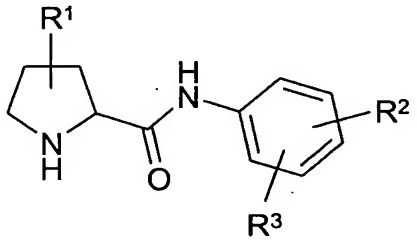
a) a compound of the formula II



II

in which R is as defined in Claim 1,

is reacted with a chloroformate derivative to give a carbamate derivative intermediate,
which is subsequently reacted with a compound of the formula III



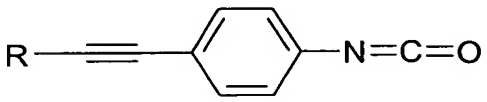
III

in which
R^1, R^2 and R^3 are as defined in Claim 1,

or

b) a compound of the formula III

is reacted with a compound of the formula IV

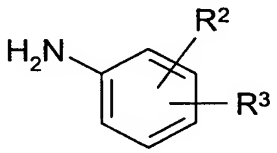


IV

in which
R is as defined in Claim 1,

or

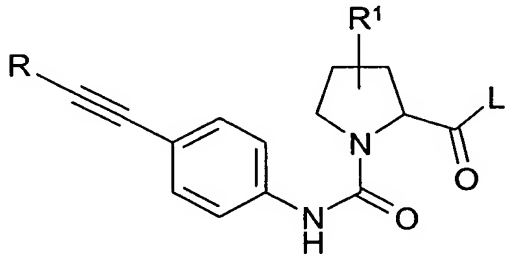
c) a compound of the formula V



V,

in which R^2 and R^3 are as defined in Claim 1,

is reacted with a compound of the formula VI



VI

in which

L is Cl, Br, I or a free or reactively functionally modified OH group, and
R and R^1 are as defined in Claim 1,

and/or a base or acid of the formula I is converted into one of its salts.

14. Compounds of the formula I according to one or more of Claims 1 to 12 as inhibitors of coagulation factor Xa.

15. Compounds of the formula I according to one or more of Claims 1 to 12 as inhibitors of coagulation factor VIIa.

16. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 12 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and, if desired, excipients and/or adjuvants.

17. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 12 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and at least one further medicament active ingredient.

18. Use of compounds according to one or more of Claims 1 to 12 and/or physiologically acceptable salts and solvates thereof for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexia, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tinnitus, tumours, tumour diseases and/or tumour metastases.

19. Set (kit) consisting of separate packs of

(a) an effective amount of a compound of the formula I according to one or more of Claims 1 to 12 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios,

and

(b) an effective amount of a further medicament active ingredient.

20. Use of compounds of the formula I according to one or more of Claims 1 to 12 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios,

for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexia, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tinnitus, tumours, tumour diseases and/or tumour metastases,

in combination with at least one further medicament active ingredient.